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☐ 1. Document ID: US 5476925 A

Dec 19, 1995

Entry 1 of 1 File: USPT

US-PAT-NO: 5476925
DOCUMENT-IDENTIFIER: US 5476925 A

TITLE: Oligodeoxyribonucleotides including 3'-aminonucleoside-phosphoramidate linkages and terminal 3'-amino groups

DATE-ISSUED: December 19, 1995

INVENTOR-INFORMATION: NAME	CITY	STATE	ZIP CODE	COUNTRY
Letsinger; Robert L.	Wilmette	IL	N/A	N/A
Gryaznov; Sergei M.	San Mateo	CA	N/A	N/A

US-CL-CURRENT: 536/23.1; 435/6, 536/24.3, 536/24.5

ABSTRACT:

Novel oligonucleotides, method for improving the hybridization properties of oligonucleotides and novel processes for preparing 3'-phosphorylated oligonucleotides.
4 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Claims | KWMC | Image

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05476925	1

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Search History

DB Name	Query	Hit Count	Set Name
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USPT	l3 and protect	1	L4
USPT	chee.in. and oligonucleotide	12	L3
USPT	chee.in. and tritylation	0	L2
USPT	chee.in. and trityL	0	L1

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     FILE 'BIOSIS, CAPLUS, MEDLINE' ENTERED AT 13:19:49 ON 31 JAN 2000
L1          908 S TRITYL? AND OLIGO?
L2          165 S L1 AND DEPROTEC?
L3            0 S L2 AND (NONSPECIFIC AND ADSORPTION)
L4            0 S L2 AND ADSORPTION
L5          199 S L1 AND DETRITYL?
L6           39 S L2 AND L5
L7           39 S L6 AND PY<1998
L8           11 S L7 AND (ARRAY OR SURFACE OR SOLID OR SUPPORT)
L9           11 DUP REM L8 (0 DUPLICATES REMOVED)
L10           0 S (TRITYL? AND (NON-SPECIFIC ADSORPTION))
L11           6 S (OLIGO? AND ARRAY AND (NON-SPECIFIC ADSORPTION))
L12           2 DUP REM L11 (4 DUPLICATES REMOVED)

=> s  ((complete detrity?) or (ful? detrityl?))

L13           6 ((COMPLETE DETRITY?) OR (FUL? DETRITYL?))

=> dup rem l13

PROCESSING COMPLETED FOR L13
L14           2 DUP REM L13 (4 DUPLICATES REMOVED)

=> d l14 bib ab kwic 1-2


L14  ANSWER 1 OF 2  BIOSIS  COPYRIGHT 2000 BIOSIS       DUPLICATE 1
AN   1996:456680  BIOSIS
DN   PREV199699179036
TI   Acid binding and detritylation during oligonucleotide synthesis.
AU   Paul, Carlton H. (1); Royappa, A. Timothy
CS   (1) PerSeptive Biosystems, 500 Old Connecticut Path, Framingham, MA 01701
     USA
SO   Nucleic Acids Research, (1996) Vol. 24, No. 15, pp. 3048-3052.
     ISSN: 0305-1048.
DT   Article
LA   English
AB   Under the conditions normally used for detritylation in oligonucleotide
     synthesis, the haloacetic acid binds strongly to the oligonucleotide.
     Acetonitrile also forms a complex with the deblocking acid, in competition
     with the oligonucleotide, and drastically slows detritylation. Incomplete
     removal of acetonitrile during the deblock step may slow the kinetics
```

enough to result in incomplete detritylation of the oligonucleotide. Acid binding to the growing oligonucleotide causes striking chromatographic effects in the presence of high oligonucleotide mass densities. In packed-bed column reactors, at low linear velocities, the acid binding almost completely depletes free acid from the deblocking solution. This results in an advancing zone within which the oligonucleotide is saturated with acid. Detritylation occurs mostly in a narrow band at the front of the advancing saturated zone. Increasing the DCA concentration in order to achieve quick saturation can give faster and more **complete detritylation** while minimizing the exposure time of the oligonucleotide to acid.

AB. . . of the advancing saturated zone. Increasing the DCA concentration in order to achieve quick saturation can give faster and more **complete detritylation** while minimizing the exposure time of the oligonucleotide to acid.

L14 ANSWER 2 OF 2 BIOSIS COPYRIGHT 2000 BIOSIS DUPLICATE 2
AN 1992:161954 BIOSIS
DN BA93:84279
TI APPLICATION OF 2 CHLOROTRITYL RESIN IN SOLID PHASE SYNTHESIS OF LEU-15 GASTRIN I AND UNSULFATED CHOLECYSTOKININ OCTAPEPTIDE SELECTIVE O-DEPROTECTION OF TYROSINE.
AU BARLOS K; GATOS D; KAPOLOS S; POULOS C; SCHAEFER W; WENQING Y
CS DEP. CHEM., UNIV. PATRAS, 26010 PATRAS, GREECE.
SO INT J PEPT PROTEIN RES, (1991) 38 (6), 555-561.
CODEN: IJPPC3. ISSN: 0367-8377.
FS BA; OLD
LA English
AB The carboxyl terminal dipeptide amide, Fmoc-Asp-Phe-NH2, of gastrin and cholecystokinin (CCK) has been attached in high yield through its free side chain carboxyl group to the acid labile 2-chlorotrityl resin. The obtained peptide resin ester has been applied in the solid phase synthesis of partially protected (Leu15)-gastrin I utilising Fmoc-amino acids. Quantitative cleavage of this peptide from resin, with the t-butyl type side chain protection intact is achieved using mixtures of acetic acid/trifluoroethanol/dichloromethane. Under the same conditions **complete detritylation** of the tyrosine phenoxy function occurs simultaneously. Thus, the solid-phase synthesis of peptides selectively deprotected at the side chain of tyrosine is rendered possible by the use of 2-chlorotrityl resin and Fmoc-Tyr(Trt)-OH. The efficiency of this approach has been proved by the subsequent high-yield synthesis of three model peptides and the CCK-octapeptide.

AB. . . resin, with the t-butyl type side chain protection intact is achieved using mixtures of acetic acid/trifluoroethanol/dichloromethane. Under the same conditions **complete detritylation** of the tyrosine phenoxy function occurs simultaneously. Thus, the solid-phase synthesis of peptides selectively deprotected at the side chain of. . .

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L1          908 S TRITYL? AND OLIGO?
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L3            0 S L2 AND (NONSPECIFIC AND ADSORPTION)
L4            0 S L2 AND ADSORPTION
L5          199 S L1 AND DETRITYL?
L6           39 S L2 AND L5
L7           39 S L6 AND PY<1998
L8           11 S L7 AND (ARRAY OR SURFACE OR SOLID OR SUPPORT)
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L10           0 S (TRITYL? AND (NON-SPECIFIC ADSORPTION))
L11           6 S (OLIGO? AND ARRAY AND (NON-SPECIFIC ADSORPTION))
L12           2 DUP REM L11 (4 DUPLICATES REMOVED)
L13           6 S ((COMPLETE DETRITY?) OR (FUL? DETRITYL?))
L14           2 DUP REM L13 (4 DUPLICATES REMOVED)
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=> d l9 bib ab 1-11

L9 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2000 ACS
AN 1998:684453 CAPLUS
DN 129:290376
TI **Solid** phase synthesis of **oligonucleotide** N3'.fwdarw.P5' phosphoramidates
IN Hirschbein, Bernard L.; Fearon, Karen L.; Gryaznov, Sergei M.; McCurdy, Sarah N.; Nelson, Jeffery S.; Schultz, Ronald G.
PA Lynx Therapeutics, Inc., USA
SO U.S., 31 pp. Cont.-in-part of U.S. 5,684,143.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5824793	A	19981020	US 1996-663918	19960614
	US 5684143	A	19971104	US 1996-603566	19960221 <--
	US 5859233	A	19990112	US 1996-771789	19961220
PRAI	US 1996-603566	19960221			
	US 1996-663918	19960614			

OS MARPAT 129:290376
AB The title compds. [I; B = purine or pyrimidine base or their analog; R3 = H, F, OH; R6 = amino, OH; X = O, S; Z = H, alkali metal cation, amine cation; n .gtoreq. 1] were prepd. by use of an amine-exchange reaction of phosphoramidites in which a **deprotected** 3'-amino group of a **solid** phase-supported **oligonucleotide** chain is exchanged for the amino portion of a 5'-phosphoramidite of an incoming monomer (II; R1 = phosphate protecting group; R3 = H, F, OH, OR'; R' = C1-3 alkyl, OH-protective group; R4R5N = alkylamino- or arylamino leaving group; W = NHR2, OR7; R2 = amino-protecting group; R7 = OH-protecting group; B as above) which has a protected 3'-amino group. The resulting internucleotide phosphoramidite linkage is then oxidized, e.g., with iodine, to form a stable protected phosphoramidate linkage. The method of the invention improves product yields and reduces reagent usage over currently available methods for synthesizing the above class of compd. For example, 2'-deoxy-3'-**tritylaminocytidine**-5'-phosphoramidite monomer III was prepd. in 4 steps and used to prep. nucleotide **oligomers** in a **solid** phase synthesis procedure comprising tetrazole activation, attachment to aminopropyl-functional controlled-pore glass microparticles, 3'-amino **detritylation** and repeated coupling-oxidn. steps.

L9 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2000 ACS
AN 1996:367345 CAPLUS
DN 125:59001
TI Methods of **detritylation** for **oligonucleotide** synthesis using highly effective nondepurinating **detritylating** agent
IN Habus, Ivan; Agrawal, Sudhir
PA Hybridon, Inc., USA
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9603417	A1	19960208	WO 1995-US9322	19950724 <--
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9531436	A1	19960222	AU 1995-31436	19950724 <--

PRAI US 1994-279517 19940[illegible]
WO 1995-US9322 19950[illegible]

AB The title method comprises **detritylating** DMT-blocked **oligonucleotides** with dichloroacetic acid in combination with a lower alc. (e.g., methanol or ethanol) or 1H-pyrrole. The method results in improved yields by reducing or eliminating depurination that often occurs during **detritylation** and is advantageously used to synthesize **oligonucleotides** up to about 150 monomers long. Thus, 101-mer and 151-mer 5'-(TCTCTCGCACCCATCTCTCTCCTTCTCTCTCGCACCCATCTCTC TCCTTC)nT-3' (n = 2, 3) were assembled by std. protocols using a Milligen/Biosearch 8700 series DNA synthesizer and com. available phosphoramidite monomers on a controlled pore glass, cleaved from the **solid support**, and the products wee subjected to capillary gel electrophoresis anal. When 0.1% MeOH, 0.1% EtOH, 0.1% 1H-pyrrole, and 1.0% 1H-pyrrole were added to 2.0% dichloroacetic acid in CH2Cl2 during **detritylation**, yield of desired **oligonucleotide** significantly (by 50-125%) increased. By contrast, no such increase was obsd. when only 2.0% dichloroacetic acid in CH2Cl2 was used with an extended **detritylation** cycle or when 2.0% dichloroacetic acid in CH2Cl2 contg. 0.1% MeOH was used in combination with std. **detritylation** and extended washing cycles.

L9 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2000 ACS
AN 1994:299211 CAPLUS
DN 120:299211
TI **Trityl** cation conductivity monitoring in automated polynucleotide synthesis
IN Andrus, William A.; Kaufman, Jay L.; Le, Minh Q.
PA Applied Biosystems, Inc., USA
SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9400471	A1	19940106	WO 1993-US6127	19930625	<--
	W: JP					
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	EP 648221	A1	19950419	EP 1993-916801	19930625	<--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
	JP 07508282	T2	19950914	JP 1993-502615	19930625	<--

PRAI US 1992-906992 19920630
WO 1993-US6127 19930625

AB A method and app. are provided for indirectly monitoring nucleoside monomer coupling yields by measuring the conductance of **trityl** cations released after a **deprotection** step in **solid** phase procedures for nucleic acid synthesis. A diagram of a preferred app. for implementing the method and one for a preferred app. for carrying out cond. measurement on the **deprotection** waste mixt. are presented. E.g., the 18-mer 5'-TCACAGTCTGATCTCGAT (I) was synthesized at 0.2 and 1.0 .mu.mol scales on an Applied Biosystems, Inc. model 392 DNA synthesizer using std. protocols with the exceptions that the DNA synthesizer was modified by the insertion of a cond. cell in the waste line from the flushing step prior to **detritylation**. When spectrophotometric **trityl** monitoring was employed the synthesis chamber was not flushed prior to **detritylation**. Flushing was accomplished with CH2Cl2 whenever cond. measurements were made. CH2Cl2 was driven through the synthesis chamber at a flow rate of 2.5 mL/min for 60 s. Spectrophotometric monitoring was based on absorbance at 498 nm of dild. samples of the **deprotection** waste mixt. prepd. according to manufacturer's protocols. The stepwise coupling yields based on both monitoring approaches which were obtained during the synthesis of I as well as the final av. stepwise yields based both on cond. and absorbance for several syntheses of varying scale of varying sized **oligonucleotides** are tabulated.

L9 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2000 ACS
AN 1995:143315 CAPLUS

DN 122:161221
TI Preparation of **oligothi[redacted]cleotides** as hybridization pro[redacted]
IN Barascut, Jean Louis; Imbach, Jean Louis
PA Centre National de la Recherche Scientifique, Fr.
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9316095	A1	19930819	WO 1993-FR115	19930204 <--
	W: CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	FR 2686882	A1	19930806	FR 1992-1275	19920205 <--
	FR 2687679	A1	19930827	FR 1992-11103	19920917 <--
	FR 2687679	B1	19941028		
	EP 625986	A1	19941130	EP 1993-904155	19930204 <--
	EP 625986	B1	19970115		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 07506345	T2	19950713	JP 1993-513826	19930204 <--
	AT 147752	E	19970215	AT 1993-904155	19930204 <--
	US 5639873	A	19970617	US 1994-284484	19940804 <--
PRAI	FR 1992-1275	19920205			
	FR 1992-11103	19920917			
	WO 1993-FR115	19930204			

OS MARPAT 122:161221
AB Title compds., **oligo**-4'-thio(2'-deoxy)ribonucleotides, e.g., I [B = (modified) nucleic acid base; X = O-, S-, substituted alkyl, alkoxy, etc.; R, R1 = H, Y-Z, Y1-Z1; Y, Y1 = (un)substituted alkylene; J = H, OH; Z, Z1 = OH, an effector radical, e.g., an intercalating agent carrying a function reacting directly or indirectly with the nucleotide chains or a radical whose presence permits easy detection; n = 0, an integer; L = O, S, NH] contg. **oligo**-4'-thio(2'-deoxy)ribonucleotide units which can be linked to an effector radical, e.g., a radical carrying a function reacting directly or indirectly with the nucleotide chains or a radical whose presence permits easy detection, are prepd. as hybridization probes. E.g., uridine was 5'-O-dimethoxytritylated, the product was 3'-O-silylated with tert-butyldimethylsilyl chloride, the product (II; B = uracil residue) was then 2'-O-bound to a modified controlled pore glass **support** and then subjected sequentially to **detritylation**, coupling with 2'-O-(tert-butyldimethylsilyl)-5'-O-dimethoxytrityluridine 3'-[methyl N,N-diisopropylphosphoramidite] (III) (prepn. also shown), acetylation of the free 5'-OH groups, and oxidn. The above steps were repeated as necessary to give, after **deprotection** and **support** cleavage, homododecamer .beta.rSU12 [IV; B = uracil residue, n = 10]. The hybridization of IV with polyrA was carried out and the stability of the duplex was examd. Other **oligothionucleotides** were also prepd.

L9 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2000 ACS
AN 1993:539654 CAPLUS
DN 119:139654
TI Partial protection of carbohydrate derivatives. Part 27. Further improvement in the protecting procedure for **oligonucleotide** synthesis in terms of a cellulose acetate derivative as a polymer-**support**
AU Kamaike, Kazuo; Ogawa, Tomohiro; Inoue, Yasushi; Ishido, Yoshiharu
CS Fac. Pharm., Tokyo Coll. Pharm., Tokyo, 192-03, Japan
SO Nucleosides Nucleotides (**1992**), 11(2-4), 637-68
CODEN: NUNUD5; ISSN: 0732-8311
DT Journal
LA English
AB Utilization of a (3-carboxy)propionyl spacer for the cellulose acetate **support**, a comparison of 2-cyanoethyl and diphenylcarbamoyl protecting groups for the O6-position of the guanosine unit, protecting groups for 1-.beta.-D-ribofuranosylthymine (rT) and pseudouridine (.psi.) were studied in connection with the syntheses of **oligoribonucleotides**, i.e., a tridecamer,

ApApGpGpApApApApUpUpApU[redacted] and a dodecamer, UpCpCpGpGprT[redacted]si.pCpGpApUpU.

L9 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2000 ACS
AN 1992:6924 CAPLUS
DN 116:6924
TI Preparation of uncharged morpholine-containing **oligonucleotide** analogs having achiral intersubunit linkages for detection and inactivation of pathogens
IN Summerton, James E.; Weller, Dwight D.
PA Antivirals, Inc., USA
SO PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 11

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9109073	A1	19910627	WO 1990-US7565	19901220 <--
	W: AU, CA, JP, KR				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	US 5034506	A	19910723	US 1989-454055	19891220 <--
	CA 2069906	AA	19910621	CA 1990-2069906	19901220 <--
	CA 2069906	C	19961126		
	AU 9171587	A1	19910718	AU 1991-71587	19901220 <--
	AU 654473	B2	19941110		
	EP 506845	A1	19921007	EP 1991-902401	19901220 <--
	EP 506845	B1	19980318		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 05504564	T2	19930715	JP 1991-502893	19901220 <--
	AT 164081	E	19980415	AT 1991-902401	19901220
	US 5378841	A	19950103	US 1993-74120	19930608 <--

PRAI	US 1989-454055	19891220
	US 1985-712396	19850315
	US 1986-911258	19860924
	US 1986-944707	19861218
	US 1987-100033	19870923
	US 1989-454056	19891220
	WO 1990-US7565	19901220

AB The title polymers, contg. morpholine subunit structures I [Pi = residue of (substituted) purine, pyrimidine, etc., e.g., Q, Q1; X = H, Me, F, Cl, Br, iodo; capable of forming base-specific H bonds to a base in a polynucleotide] joined at the morpholino N of one subunit to the 5' C of an adjacent subunit by uncharged, achiral linkages 1-3 atoms long, useful for detection and inactivation of pathogens such as viruses, were prepd. Periodate oxidn. of a base-protected ribonucleoside gave a 2',3'-dialdehyde II, reaction of which with NH3 or an amine gave dihydroxymorpholine III, redn. of which with NaCNBH3 followed by acylation with p-NO2C6H4OQ2 [Q2 = CO2CHPh2] gave morpholine deriv. IV [R = CH2OH], which was converted to IV (R = CHO, sulfoaminomethyl, etc.). I-5'-sulfamic acid analogs of cytidine, uridine, and guanosine **tritylated** on the morpholino N were prepd. and the uridine subunit was activated by treatment with phosgene in toluene and then reacted sep. with a **deprotected** cytidine subunit and a **deprotected** guanosine subunit. The CU dimer was then **deprotected** and its DMF/TEA soln. was treated with the activated GU dimer to give 5'-CUGU (with sulfamide linkages). Sulfamide-linked tetramers such as this, 5'-UCGG, -GCGC, -CACU, were also prepd. and coupled sequentially to give the 5'-CUGUUCGGGCGCCACU **oligonucleotide** analog, which was further **detritylated** and then reacted with polyethylene glycol 1000 to give the PGE-tailed polymer. A sulfamide-linked morpholino hexamer where Pi = cytosine residue, prepd. and tailed with polyethylene glycol 1000 similarly, had a p(dG)6-binding affinity (Tm) at 0.degree. of 25 compared with 29 for p(dC)6. I have improved stability in the cell and may give better target inactivation since the polymer/target duplex is not subject to duplex unwinding.

L9 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2000 ACS
AN 1988:631471 CAPLUS
DN 109:231471

TI Process for synthesizing **oligonucleotides** in a homogeneous system using polysaccharide derivatives as high molecular protective groups

IN Ishido, Yoshiharu; Kamaike, Kazuo

PA Daicel Chemical Industries, Ltd., Japan

SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 8803149	A1	19880505	WO 1987-JP836	19871030 <--
	W: JP, US				
	RW: CH, DE, FR, GB, SE				
	EP 289619	A1	19881109	EP 1987-907138	19871030 <--
	EP 289619	B1	19950816		
	R: CH, DE, FR, GB, LI, SE				
	JP 2510646	B2	19960626	JP 1987-506503	19871030 <--
	US 4950745	A	19900821	US 1988-219156	19880627 <--
PRAI	JP 1986-256744		19861030		
	WO 1987-JP836		19871030		

AB **Oligonucleotides** are prepd. by condensing in a homogeneous system a mononucleotide, **oligonucleotide**, mononucleotide succinate or **oligonucleotide** succinate having functional groups protected with several low-mol. wt. protective groups and one polysaccharide protecting group (I; C6H7O2 = anhyd. glucose residue; R = Ac, EtCO, C3H7CO; n = 10-2,000; x = 0.4-0.8; y = 1.0-2.0) except for the hydroxy group in the terminal 5'-position, with a mono- or **oligonucleotide** having functional groups protected with low-mol. protective groups except for the terminal phosphate group. A mixt. of 4-(2-monomethoxytrityloxyethylthio)dihydrocinnamic acid (prepn. given) and acetylcellulose which was azeotropically dried by repeated evapn. of pyridine in vacuo was dissolved in pyridine and 2,4,6-triisopropylbenzenesulfonyl chloride (II) and 1-methylimidazole (III) were added. The mixt. was stirred at room temp. for 2 h. The product isolated was acetylated with Ac2O/pyridine and oxidized with 30% H2O2 in 130 dioxane-AcOH and aq. Na2WO4.H2O at 80.degree. to give a white **solid** which was treated with 0.7M ZnBr2 CHCl3/MeOH (7:3 vol/vol) at room temp. for 2 h with stirring to afford [4-(hydroxyethylsulfonyl)dihydrocinnamoyl]acetylcellulose IV having 1.65 mmol spacer group/g acetylcellulose. To a soln. of 0.2424 g (0.4 mmol) IV and 0.3 mmol N3-anisoyl-5'-O-dimethoxytrityl-2'-O-tetrahydropyranyluridine 3'-(2-chlorophenyl)phosphate triethylamine salt (VI) in 3 mL pyridine were added 0.9 II and 1.8 mmol III and the mixt. was stirred at room temp. for 1 h to give 75% a nucleotide deriv. (V; R1 = dimethoxytrityl (DMT), B1 = N3-anisoyluridin-1-yl) linked to IV. The latter compd. was treated with Ac2O/pyridine followed by 2% p-MeC6H4SO3H in CHCl3/MeOH and was analogously condensed with VI to give a dinucleotide deriv. V (R1 = Q). Treatment of the latter compd. with pyridine-Et3N (3:1 vol/vol) at room temp. for 2 h gave 58% a protected dinucleotide VI (R1 = R).

L9 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2000 ACS

AN 1986:460875 CAPLUS

DN 105:60875

TI **Solid**-phase synthesis of **oligoribonucleotides**

AU Hirao, Ichiro; Ishikawa, Masahide; Miura, Kinichiro

CS Fac. Eng., Univ. Tokyo, Tokyo, 113, Japan

SO Nucleic Acids Symp. Ser. (**1985**), 16(Symp. Nucleic Acids Chem., 13th), 173-6
CODEN: NACSD8; ISSN: 0261-3166

DT Journal

LA English

OS CASREACT 105:60875

AB Selective **deprotection** of the 5'-O-dimethoxytrityl group of thymidine and uridine ribonucleotides was achieved with 1% CHCl2CO2H in CH2Cl2 at room temp. without removal of the 2'-O-tetrahydropyranyl group. Phosphorylation of protected ribonucleosides and coupling reaction to the 5' end of thymidine attached to polystyrene **solid**

support were carried out by the use of bifunctional reagents. 2-Chlorophenyl O,O-bis(benzotriazolyl) phosphate was the best coupling reagent. TpTpT, dUpdUpT, and UpUpT were synthesized.

L9 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2000 ACS
AN 1985:471599 CAPLUS
DN 103:71599
TI Synthetic studies on cell-**surface** glycans, part 29. Synthesis of a branched mannohexaoside, a part structure of a high-mannose-type glycan of a glycoprotein
AU Ogawa, Tomoya; Nukada, Tomoo
CS RIKEN (Inst. Phys. Chem. Res.), Wako, 351-01, Japan
SO Carbohydr. Res. (**1985**), 136 135-52
CODEN: CRBRAT; ISSN: 0008-6215
DT Journal
LA English
OS CASREACT 103:71599
AB The synthesis of Pr 6-O-[3,6-di-O-(2-O-.alpha.-D-mannopyranosyl-.alpha.-D-mannopyranosyl)-.alpha.-D-mannopyranosyl]-.alpha.-D-mannopyranoside, which corresponds to the non-reducing-end part-structure of a high-mannose-type glycan of a glycoprotein, is described.

L9 ANSWER 10 OF 11 BIOSIS COPYRIGHT 2000 BIOSIS
AN 1984:215622 BIOSIS
DN BA77:48606
TI METHYL IMIDAZOLE CATALYZED RAPID PHOSPHO TRI ESTER SYNTHESIS OF **OLIGO** DEOXY NUCLEOTIDES ON A SILICA GEL **SUPPORT** IN DI CHLORO ETHANE.
AU DOBRYNIN V N; FILIPPOV S A; BYSTROV N S; SEVERTSOVA I V; KOLOSOV M N
CS M.M. SHEMYAKIN INST. BIOORG. CHEM., ACAD. SCI. USSR, MOSCOW, USSR.
SO BIOORG KHIM, (1983) 9 (5), 706-710.
CODEN: BIKHD7.
FS BA; OLD
LA Russian
AB A method for rapid **solid**-phase synthesis of **oligodeoxynucleotides** was developed based on N-methylimidazole-catalyzed phosphotriester condensation. The polymer **support** used was the macroporous silica gel Fractosil 200 aminoalkylated by published procedures and loaded with 5'-dimethoxytritylated N-protected deoxynucleosides to a **trityl** content of 40-80 .mu.mol. The nucleosides were anchored on the **support** by the COCH2XCH2CO type groups, e.g., by treatment with diglycolic anhydride followed by DDC [dicylohexylcarbodiimide] and triazole. Polymer-attached **oligonucleotides** were assembled of mono-, di- and trimers of appropriately protected p-cholorophenyl 5'-dimethoxytritylnucleoside-3'-phosphates now referred to as P-components. The synthesis was carried out at 25.degree. C in 1,2-dichloroethane as the only solvent. **Detritylation** was effected by 0.1 M trifluoroacetic acid for 30 s. Nucleotide couplings were performed with 0.1 M P-component solutions containing 0.3 M TPS-chloride and 1 M methylimidazole, the condensation being completed in 10 min. To cap unreacted 5'-terminal hydroxyls, 2 min acetylation by 2 M Ac2O and 2 M methylimidazole was used. The total time for the 3 reactions each followed by washing of the polymer amounted to 16 min., which enabled some 20 nucleotide couplings a day to be easily accomplished on a manually operated flow-through system. **Oligonucleotides** synthesized were cleaved off the **support** and N,P-**deprotected** by 0.4 M tetramethylguanidinium nitrobenzaldoxymate in 50% dioxane and concentrated NH3 aqueous, isolated in the 5'-DMTr form by chromatography on a TR Sepharose, and **detritylated** by 80% AcOH. The scope and utility of the method was demonstrated by syntheses of the 23-mer AA(T)20T and the 51-mer (AA)2(TT)23T with average coupling yields 91% and 93%, respectively, and of the 16-mer AGAGAAAAATTTTCCT isolated in 3.2% yield.

L9 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2000 ACS
AN 1981:425432 CAPLUS
DN 95:25432
TI Synthesis of 6-O-(6-O-.beta.-D-galactopyranosyl-.beta.-D-galactopyranosyl)-D-galactopyranose by use of 2,3,4-tri-O-acetyl-6-O-(chloroacetyl)-.alpha.-

D-galactopyranosyl brom[redacted]e, a key intermediate for the s[redacted]d
-phase synthesis of .be[redacted]-(1 .fwdarw. 6)-linked D-galac[redacted]pyranans
AU Bhattacharjee, Apurba K.; Zissis, Emmanuel; Glaudemans, Cornelis P. J.
CS Natl. Inst. Arthritis, Metab. Dig. Dis., Bethesda, MD, 20205, USA
SO Carbohydr. Res. (**1981**), 89(2), 249-54
CODEN: CRBRAT; ISSN: 0008-6215
DT Journal
LA English
AB 2,3,4-Tri-O-acetyl-6-O-(chloroacetyl)-.alpha.-D-galactopyranosyl bromide (I) was prepd. and condensed with 1,2,3,4-tetra-O-acetyl-D-galactopyranose to give 1,2,3,4-tetra-O-acetyl-6-O-[2,3,4-tri-O-acetyl-6-O-(chloroacetyl)-.beta.-D-galactosyl]-D-galactopyranose (II). The O-chloroacetyl group could be selectively removed from II by treatment with thiourea, and the resulting product was again condensed with I, to yield, after **deprotection**, the title trisaccharide.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	110.36	111.11
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-11.13	-11.13

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